

FIG. 1



CONTINUED ON FIG. 1A

FIG. 1A

The reaction scheme illustrates the synthesis of a nucleoside derivative with an inverted configuration at the 3' position. The process begins with a starting material, a nucleoside derivative with a base (Base) and a benzoyloxymethyl (BzO) group at the 3' position. This intermediate is subjected to a series of reactions:

- Reaction 1:** Treatment with $\text{NH}_3/\text{CH}_3\text{OH}$ yields a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.
- Reaction 2:** Treatment with $\text{RCI}/\text{pyridine}$ yields a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.
- Reaction 3:** Treatment with H^+ (where $\text{R} = \text{mMTTr}$) or F^- (where $\text{R} = \text{TBDMS}$) or $\text{NH}_3/\text{CH}_3\text{OH}$ (where $\text{R} = \text{Bz}$ or Ac) yields a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.
- Reaction 4:** Treatment with $\beta\text{-L. dN}$ yields a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.
- Reaction 5:** Treatment with F^- yields a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.

The final product is a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position, which is then subjected to an **INVERSION OF CONFIGURATION AT THE 3' POSITION** to yield the final product, a nucleoside derivative with a base (Base) and a hydroxyl (HO) group at the 3' position.

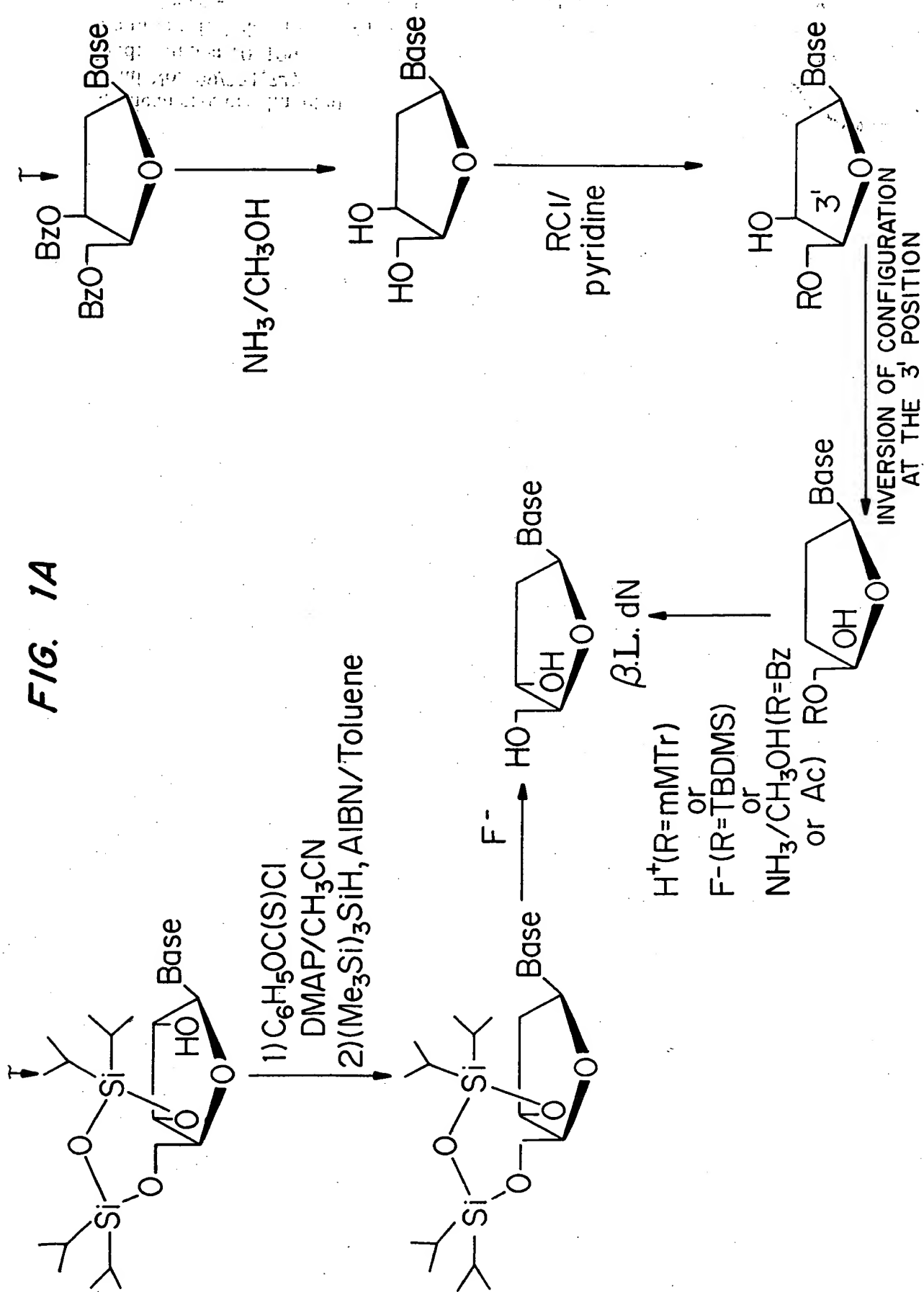
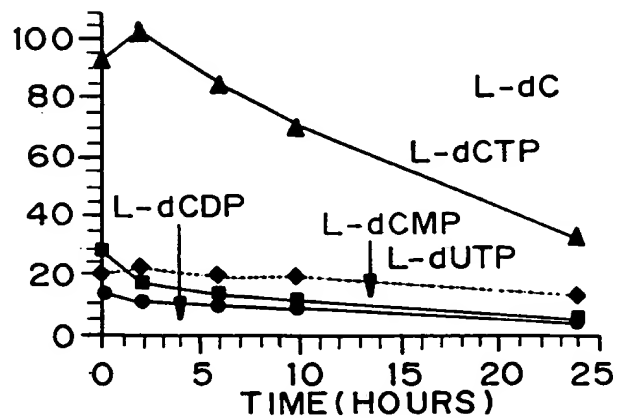
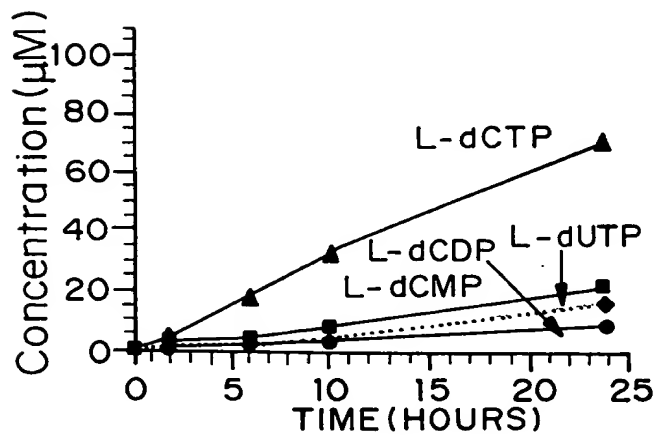
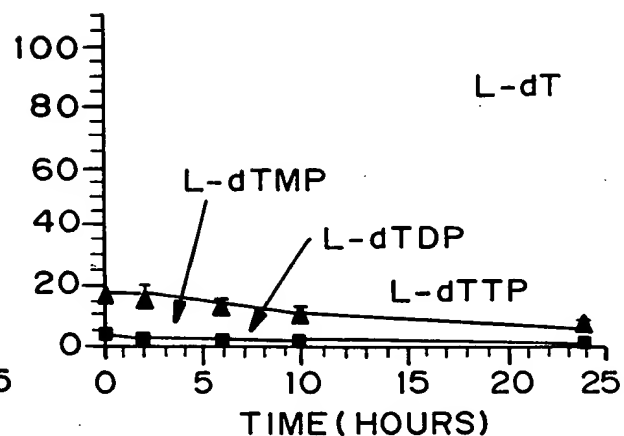
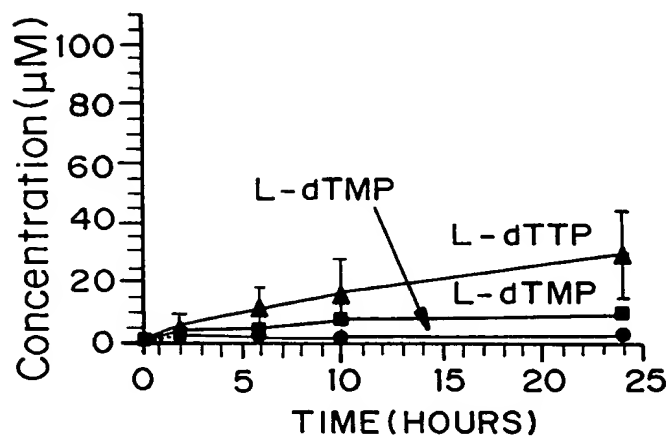
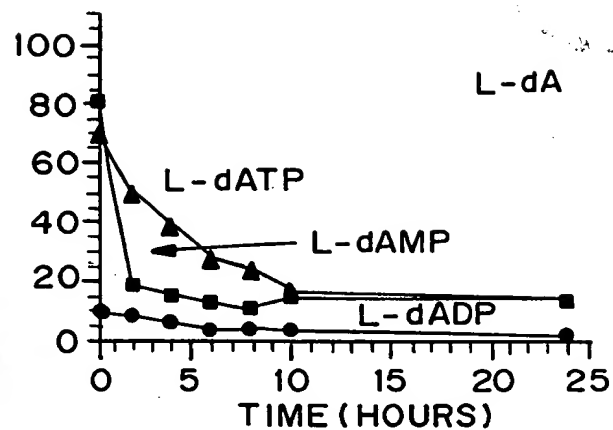
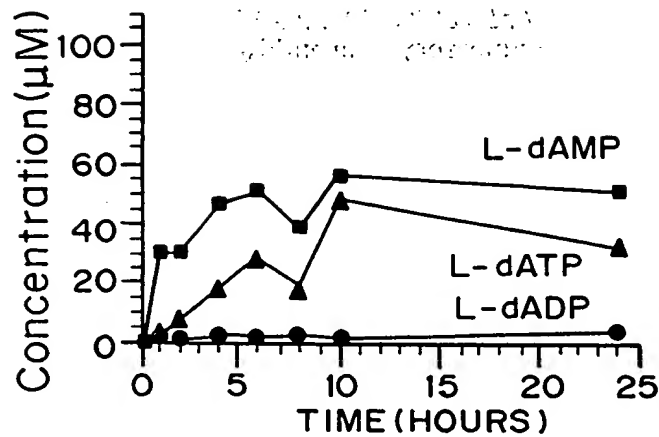


FIG. 2

ACCUMULATION

DECAY



100-1000
100-1000
100-1000
100-1000

(n=3 per drug treatment group, n=4 per placebo group, dose 10mg/kg orally once per day)

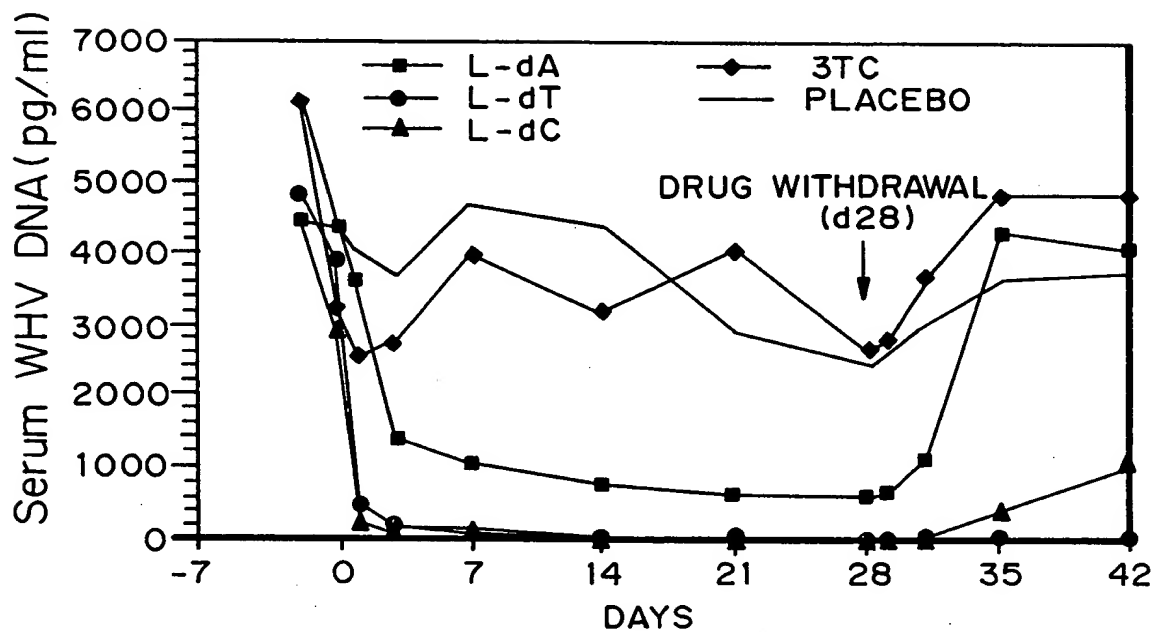


FIG. 3